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                CA/CAPLUS - Russian Agency for Patents and Trademarks
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        FEB 25
                 (ROSPATENT) added to list of core patent offices covered
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        FEB 28
                PATDPAFULL - New display fields provide for legal status
                data from INPADOC
NEWS 5
        FEB 28
                BABS - Current-awareness alerts (SDIs) available
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded
NEWS 7
        MAR 02
                GBFULL: New full-text patent database on STN
NEWS 8
        MAR 03
                REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03
                MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22
                KOREAPAT now updated monthly; patent information enhanced
NEWS 11 MAR 22
                Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 12 MAR 22
                PATDPASPC - New patent database available
NEWS 13 MAR 22
                REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 14 APR 04
                EPFULL enhanced with additional patent information and new
                fields
NEWS 15 APR 04
                EMBASE - Database reloaded and enhanced
NEWS 16 APR 18
                New CAS Information Use Policies available online
NEWS 17 APR 25
                Patent searching, including current-awareness alerts (SDIs),
                based on application date in CA/CAplus and USPATFULL/USPAT2
                may be affected by a change in filing date for U.S.
                applications.
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NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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STRUCTURE FILE UPDATES: 26 APR 2005 HIGHEST RN 849322-79-8 DICTIONARY FILE UPDATES: 26 APR 2005 HIGHEST RN 849322-79-8

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 $^{\star}$  available and contains the CA role and document type information.  $^{\star}$ 

\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\10663798.str

5 8 9

chain nodes:
6 8 9 10
ring nodes:
1 2 3 4 5
chain bonds:
2-6 5-8 8-9

10/663,798

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact bonds :

1-2 1-5 2-3 2-6 3-4 4-5 5-8 8-9 8-10

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 8:CLASS 9:CLASS 10:CLASS

L1 STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 13:04:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> d scan

L2 1 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN IN Boronic acid, (5-formyl-2-furanyl)- (9CI)

MF C5 H5 B O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> s 11 ful

FULL SEARCH INITIATED 13:05:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 59 TO ITERATE

100.0% PROCESSED 59 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 161.33 161.54

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FILE COVERS 1907 - 27 Apr 2005 VOL 142 ISS 18 FILE LAST UPDATED: 26 Apr 2005 (20050426/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 37 L3

=> s 14 and (process or procedure or method or prepara? or synthes? or make or made)

2076768 PROCESS

1388029 PROCESSES

3090537 PROCESS

(PROCESS OR PROCESSES)

404194 PROCEDURE

170572 PROCEDURES

550244 PROCEDURE

(PROCEDURE OR PROCEDURES) .

2823646 METHOD

1175438 METHODS

3668179 METHOD

(METHOD OR METHODS)

1445476 PREPARA?

2568451 PREPN

199205 PREPNS

2719335 PREPN

(PREPN OR PREPNS)

3485984 PREPARA?

(PREPARA? OR PREPN)

1442340 SYNTHES?

207820 MAKE

160977 MAKES

358304 MAKE

(MAKE OR MAKES)

1144086 MADE

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10/663,798
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23 MADES 1144106 MADE (MADE OR MADES) 35 L4 AND (PROCESS OR PROCEDURE OR METHOD OR PREPARA? OR SYNTHES? L5 OR MAKE OR MADE) => s 15 and base 629096 BASE 144862 BASES 717643 BASE (BASE OR BASES) 3 L5 AND BASE L6 => s 15 and boric acid 36827 BORIC 3968440 ACID 1473624 ACIDS 4445818 ACID (ACID OR ACIDS) 34413 BORIC ACID (BORIC(W)ACID) L7 2 L5 AND BORIC ACID => s 15 and boric acid ester 36827 BORIC 3968440 ACID 1473624 ACIDS 4445818 ACID (ACID OR ACIDS) 560109 ESTER 417664 ESTERS 782107 ESTER (ESTER OR ESTERS) 1028 BORIC ACID ESTER (BORIC (W) ACID (W) ESTER) 1 L5 AND BORIC ACID ESTER L8 => dup rem 16 17 18 PROCESSING COMPLETED FOR L6 PROCESSING COMPLETED FOR L7 PROCESSING COMPLETED FOR L8 4 DUP REM L6 L7 L8 (2 DUPLICATES REMOVED) => d 19 ibib hitstr abs 1-4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1 2004:266895 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 140:271005 Method of producing 5-formyl-2-furylboronic TITLE: INVENTOR (S): Rossen, Kai; Latinovic, Milan; Sarich, Martin; Gardner, Peter; Rowell, Simon PATENT ASSIGNEE(S): Degussa Ag, Germany SOURCE: Eur. Pat. Appl., 6 pp. CODEN: EPXXDW DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE	
EP 1403271	A1 20040331	EP 2003-18657	20030821	
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	, SE, MC, PT,	
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ, EE,	, HU, SK	
US 2004127725	A1 20040701	US 2003-663798	20030917	
CA 2442252	AA 20040325	CA 2003-2442252	20030923	
JP 2004115520	A2 20040415	JP 2003-331956	20030924	
PRIORITY APPLN. INFO.:		EP 2002-21439	A 20020925	
OTHER SOURCE(S):	CASREACT 140:271	1005		
IT 27329-70-0P, 5-Form	yl-2-furylboronic	c acid		
RL: SPN (Synthetic	oreparation); PRE	EP (Preparation)		
(improved method	of preparation of	of formylfurylboronic		
acid from protected furaldehyde and boric acid ester)				
RN 27329-70-0 CAPLUS	_			

Boronic acid, (5-formyl-2-furanyl)- (9CI) (CA INDEX NAME)

CN

AΒ The present invention refers to an improved method of producing 5-formyl-2-furylboronic acid. The method is carried out in a three-step process comprising the steps of: (a) addition of a base to a composition comprising a boric acid ester and 2-furaldehyde whereby the formyl functionality of the 2-furaldehyde is protected with a protective group, and (b) acidic work-up of the reaction mixture of step (a), and (c) isolation of 5-formyl-2-furylboronic acid.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN L9

ACCESSION NUMBER: 2003:319906 CAPLUS

DOCUMENT NUMBER:

138:321392

TITLE:

SOURCE:

Method for producing, via organometallic compounds, organic intermediate products

INVENTOR(S):

Meudt, Andreas

PATENT ASSIGNEE(S):

Clariant G.m.b.H., Germany PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003033503	A2 20030424	WO 2002-EP11042	20021002
WO 2003033503	A3 20030612		
W: CN, JP, RU,	US		
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR, GB,	GR, IE, IT,
LU, MC, NL,	PT, SE, SK, TR		
DE 10150615	A1 20030430	DE 2001-10150615	20011012
EP 1436300	A2 20040714	EP 2002-782812	20021002

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR, BG, CZ, EE, SK

WO 2002-EP11042

20021002

JP 2005505628 T2 20050224 JP 2003-536242 20021002 US 2004251563 A1 20041216 US 2004-491966 20040408 PRIORITY APPLN. INFO.: DE 2001-10150615 A 20011012

OTHER SOURCE(S): CASREACT 138:321392; MARPAT 138:321392

IT 27329-70-0P, 5-Formylfuran-2-boronic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(method for producing organic intermediate products via

aryllithium organometallic compound)

RN 27329-70-0 CAPLUS

CN Boronic acid, (5-formyl-2-furanyl)- (9CI) (CA INDEX NAME)

GI

AB The invention concerns a method for producing aryllithium compds. of formulas I (R5 = Li) and II (R5 = Li) by reacting halogenated compds. with metal lithium, to obtain a lithium compound RLi, then subsequently reacting RLi with aromatic compds. of formula I (R5 = H) and/or II (R5 = H) with deprotonation and formation of aromatic products of lithium. Step 1: producing the base; step 2: deprotonation of the substrate; formulas RLi (R = Me, primary, secondary or tertiary alkyl radical containing 2-12 carbon atoms, alkyl substituted by a radical from the group (Ph, substituted Ph, aryl, heteroaryl, alkoxy, dialkyamino, alkylthio) or (un)substituted cycloalkyl containing 3-8 carbon atoms). In I and II (X1-X4 = independently represent a carbon, the group X1-X4R1-R4 may represent a nitrogen, or two neighboring X1-X4R1-R4 may together represent O, S, NH of NR', wherein R' represents C1-C5 alkyl, SO2-p-tolyl or benzoyl; the radicals R1-R4 and the radical Z represent substituents of the group {hydrogen, Me, substituted cyclic or acyclic primary, secondary or tertiary alkyl radicals containing 2-12 carbon atoms, substituted cyclic or acyclic alkyl groups, alkoxy, dialkylamino, arylamino, diarylamino, Ph, substituted Ph, alkylthio, diarylphosphino, dialkylphosphino, dialkyl or diarylaminocarbonyl, monoalkyl- or monoarylaminocarbonyl, CO2-, hydroxyalkyl, alkoxyalkyl, fluorine, chlorine, CN or heteroaryl}, the two

## 10/663,798

neighboring R1-R4 radicals capable of forming together an aromatic or aliphatic cycle). Thus, reaction of a mixture of chlorocyclohexane and resorcinol di-Me ether with lithium in THF followed by treatment with B(OMe)3 and acidic workup gave 96% 2,6-dimethoxyphenylboronic acid.

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:172614 CAPLUS

DOCUMENT NUMBER: 134:340425

TITLE: Suzuki reaction of vinyl triflates from six- and

seven-membered N-alkoxycarbonyl lactams with boronic

acids and esters

AUTHOR(S): Occhiato, Ernesto G.; Trabocchi, Andrea; Guarna,

Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica U. Schiff and Centro

di Studio sulla Chimica e la Struttura dei Composti

Eterociclici e Loro Applicazioni, Universita di

Firenze, Florence, I-50121, Italy

SOURCE: Journal of Organic Chemistry (2001), 66(7), 2459-2465

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:340425

IT 27329-70-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(palladium-catalyzed Suzuki cross-coupling of N-alkoxycarbonyl lactam vinyl triflates with boronic acids and esters to give pyridine and

azepine derivs.) 27329-70-0 CAPLUS

CN Boronic acid, (5-formyl-2-furanyl) - (9CI) (CA INDEX NAME)

GΙ

RN

The Pd(0)-catalyzed reaction of vinyl triflates I (R = CMe3, CH2Ph, n = 1; AB R = CH2Ph, n = 2) from N-alkoxycarbonyl lactams with different boron compds. has been studied. The coupling reaction of alkenylboronates, e.g. II, and arylboronic acids, e.g. PhB(OH)2, with six- and seven-membered lactam-derived N-alkoxycarbonyl vinyl triflates was feasible under very mild conditions in THF-water employing (Ph3P)2PdCl2 as a catalyst and Na2CO3 as a base, which provided in high yields the corresponding 6- or 7-substituted N-alkoxycarbonyl-3,4-dihydro-2Hpyridines, e.g. III, and N-alkoxycarbonyl-2,3,4,5-tetrahydroazepines,e.g. IV. Allylboronates reacted slower but, with vinyl triflates from  $\delta$ -valerolactam, still gave acceptable yields of the coupling product. Alkylboronic acids required different reaction conditions, in particular the presence of Ag2O together with a base in anhydrous toluene and (dppf) PdCl2 as a catalyst, affording the corresponding 6-alkyl-N-alkoxycarbonyl-3,4-dihydro-2H-pyridines in high yields. REFERENCE COUNT: THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS 23

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:497113 CAPLUS

DOCUMENT NUMBER: 125:142773

TITLE: Novel benzyl pyrimidines with antibacterial activity.

INVENTOR (S): Guerry, Philippe; Jolidon, Synese; Masciadri,

Raffaello; Stalder, Henri; Then, Rudolf

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616046	A2	19960530	WO 1995-EP4451	19951113
WO 9616046	A3	19960725		

W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP,

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KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL,
         RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
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                            A1
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     CN 1166831
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                                   19971203
                                                CN 1995-196398
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                                   20001106
PRIORITY APPLN. INFO.:
                                                CH 1994-3536
                                                                      A 19941124
                                                CH 1995-2704
                                                                      A 19950925
                                                WO 1995-EP4451
                                                                      W 19951113
                           MARPAT 125:142773
OTHER SOURCE(S):
     27329-70-0P, 2-Formylfuran-5-boric acid
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

(Reactant or reagent)

(intermediate; preparation of novel benzylpyrimidines as antibacterials)

27329-70-0 CAPLUS RN

Boronic acid, (5-formyl-2-furanyl) - (9CI) (CA INDEX NAME) CN

GI

$$R^3$$
 $R^7$ 
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Substituted 5-benzyl-2,4-diaminopyrimidines of formula I [R1 = alkoxy; R2 AΒ = Br or alkoxy; R3 = aryl, heteroaryl, QR4; Q = CH2CH2, CH:CH, C.tplbond.C; R4 = aryl, heteroaryl, alkoxycarbonyl, or carbamoyl], and their readily hydrolyzable esters and pharmaceutically acceptable salts, can be used in the control or prevention of infectious diseases. Prepns. of approx. 250 example compds. and many intermediates are described, plus bioassay results for selected compds. against 3 organisms. For example, quinoline derivative II [R7 = CHO] was condensed with PhNHCH2CH2CN in DMSO in the presence of KOBu-tert to give 98% II [R7 = PhNHCH:C(CN)CH2]. This was then cyclocondensed with guanidine-HCl in EtOH in the presence of KOBu-tert to give 44% title compound III, which was isolated as the trifluoroacetate (IV). IV inhibited purified dihydrofolate reductase (DHFR) of Staphylococcus aureus ATCC 25923 and S. aureus 157/4696 with IC50 values of 0.0009 and 0.0500  $\mu M$ , resp., vs. 0.0340  $\mu M$  for trimethoprim. IV also had IC50 of 0.0190  $\mu M$  against DHFR of Pneumocystis carinii, vs. 43.0  $\mu M$  for trimethoprim.

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-2.92	-2.92

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